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*For two-letter codes and other abbreviations, refer to the "Guidance Notes on Codes and Abbreviations" appearing at the beginning of each regular issue of the PCT Gazette.*

(54) Title: **OXAZOLIDINONE DERIVATIVES AS ANTIBACTERIAL AGENTS**

(57) Abstract: A compound of the formula (I), or a pharmaceutically-acceptable salt, or in-vivo hydrolysable ester thereof: formula (I) wherein C is selected from D and E, formula (D), formula (E) R<sub>2a</sub>, R<sub>6a</sub>, and R<sub>3a</sub> are independently selected from for example H, CF<sub>3</sub>, Me and Et; R<sub>2b</sub> and R<sub>6b</sub> are independently selected from for example H, F, CF<sub>3</sub>, Me and Et; R<sub>1b</sub> is for example acetamido; R<sub>4</sub> is for example an optionally substituted 5- or 6- membered heterocyclic ring system. Methods for making compounds of the formula (I), compositions containing them and their use as antibacterial agents are also described.

WO 2004/056819 A1

## INTERNATIONAL SEARCH REPORT

PCT/GB 03/05498

## A. CLASSIFICATION OF SUBJECT MATTER

IPC 7 C07D413/14 C07D413/10 A61K31/44 //(C07D413/14,263:00,  
257:00,213:00)

According to International Patent Classification (IPC) or to both national classification and IPC

## B. FIELDS SEARCHED

Minimum documentation searched (classification system followed by classification symbols)

IPC 7 C07D A61K A61P

Documentation searched other than minimum documentation to the extent that such documents are included in the fields searched

Electronic data base consulted during the international search (name of data base and, where practical, search terms used)

EPO-Internal, EMBASE, BIOSIS, PAJ, WPI Data, CHEM ABS Data

## C. DOCUMENTS CONSIDERED TO BE RELEVANT

Category *	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
P, X	WO 03 022824 A (SWAIN MICHAEL LINGARD ;ASTRAZENECA UK LTD (GB); MILLS STUART DENNE) 20 March 2003 (2003-03-20) example 60	1,2, 8-11, 13-15
Y	WO 01 94342 A (DONG A PHARM CO LTD ;CHO JONG HWAN (KR); CHOI SUNG HAK (KR); LEE J) 13 December 2001 (2001-12-13) the whole document	1-11, 13-16
Y	WO 00 29396 A (RADDATZ SIEGFRIED ;BARTEL STEPHAN (DE); BAYER AG (DE); HAERTER MIC) 25 May 2000 (2000-05-25) the whole document	1-11, 13-16
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Further documents are listed in the continuation of box C.



Patent family members are listed in annex.

## \* Special categories of cited documents:

- \*A\* document defining the general state of the art which is not considered to be of particular relevance
- \*E\* earlier document but published on or after the international filing date
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- \*O\* document referring to an oral disclosure, use, exhibition or other means
- \*P\* document published prior to the international filing date but later than the priority date claimed

\*T\* later document published after the international filing date or priority date and not in conflict with the application but cited to understand the principle or theory underlying the invention

\*X\* document of particular relevance; the claimed invention cannot be considered novel or cannot be considered to involve an inventive step when the document is taken alone

\*Y\* document of particular relevance; the claimed invention cannot be considered to involve an inventive step when the document is combined with one or more other such documents, such combination being obvious to a person skilled in the art.

\*&\* document member of the same patent family

Date of the actual completion of the international search

25 March 2004

Date of mailing of the international search report

05/04/2004

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## INTERNATIONAL SEARCH REPORT

PCT/GB 03/05498

## C.(Continuation) DOCUMENTS CONSIDERED TO BE RELEVANT

Category *	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
Y	WO 99 33839 A (CHEIL JEDANG CORP ;KANG JIN AH (KR); LEE KOUN HO (KR); LEE YOUN HA) 8 July 1999 (1999-07-08) the whole document ---	1-11, 13-16
Y	WO 98 54161 A (HESTER JACKSON B JR ;NIDY ELTON GEORGE (US); PERRICONE SALVATORE C) 3 December 1998 (1998-12-03) cited in the application the whole document ---	1-11, 13-16
Y	WO 93 09103 A (UPJOHN CO) 13 May 1993 (1993-05-13) examples 1,8,11,18,21,24,27,30 ---	1-11, 13-16
Y	EP 0 352 781 A (DU PONT) 31 January 1990 (1990-01-31) examples 38,105,107,135 ---	1-11, 13-16
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A	WO 99 03846 A (RADDATZ SIEGFRIED ;RIEDL BERND (DE); BARTEL STEPHAN (DE); BAYER AG) 28 January 1999 (1999-01-28) abstract; claims ---	1-11, 13-16
A	WO 97 21708 A (UPJOHN CO ;TUCKER JOHN A (US); BRICKNER STEVEN JOSEPH (US); ULANOW) 19 June 1997 (1997-06-19) abstract; claims ---	1-11, 13-16
A	CHUNG-HO PARK ET AL: "ANTIBACTERIALS. SYNTHESIS AND STRUCTURE-ACTIVITY STUDIES OF 3-ARYL-2-OXOOXAZOLIDINES. 4 MULTIPLY-SUBSTITUTED ARYL DERIVATIVES" JOURNAL OF MEDICINAL CHEMISTRY, AMERICAN CHEMICAL SOCIETY. WASHINGTON, US, vol. 35, no. 6, 20 March 1992 (1992-03-20), pages 1156-1165, XP000567006 ISSN: 0022-2623 page 1159, summary --- -/--	1-11, 13-16

## INTERNATIONAL SEARCH REPORT

PCT/GB 03/05498

## C.(Continuation) DOCUMENTS CONSIDERED TO BE RELEVANT

Category *	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
A	<p>PAE A N ET AL: "Synthesis and in vitro activity of new oxazolidinone antibacterial agents having substituted isoxazoles" BIOORGANIC &amp; MEDICINAL CHEMISTRY LETTERS, OXFORD, GB, vol. 9, no. 18, 20 September 1999 (1999-09-20), pages 2679-2684, XP004179951 ISSN: 0960-894X the whole document -----</p>	<p>1-11, 13-16</p>

FURTHER INFORMATION CONTINUED FROM PCT/ISA/ 210

## Continuation of Box I.2

Expressions "in vivo hydrolysable ester", "prodrug" do not bring more specification to the claimed domain and appear, rather, to claim desirable properties or results to be obtained which are not achieved yet at the filing time. They encompass a great, non-limitative variety of compounds which differ from the claimed active compounds, either in the side chain(s) or in the core structure.

Present claims 1-11, 13 to 16 relate to an extremely large number of possible compounds and processes. Support within the meaning of Article 6 PCT and/or disclosure within the meaning of Article 5 PCT is to be found, however, for only a very small proportion of the compounds and processes claimed (in fact, two examples). In the present case, the claims so lack support, and the application so lacks disclosure, that a meaningful search over the whole of the claimed scope is impossible. Consequently, the search has been carried out for those parts of the claims which appear to be supported and disclosed, namely those parts relating to the compounds including the definitions specified in claims 4 and 8, as they appear to be illustrated, and analogous thereof (it is noted the wording of claim 4 -contrarily to the one of claim 8- is not limiting as such since the given specifications for HET-3 do not exclude other definitions of R4 like does the specification of group C to be E which excludes group D).

Apparently the definition of R24 has been drafted to exclude the value CH3 without using the formulation of a proviso. This results in unclear redundances of the definition of R24.

The applicant's attention is drawn to the fact that claims, or parts of claims, relating to inventions in respect of which no international search report has been established need not be the subject of an international preliminary examination (Rule 66.1(e) PCT). The applicant is advised that the EPO policy when acting as an International Preliminary Examining Authority is normally not to carry out a preliminary examination on matter which has not been searched. This is the case irrespective of whether or not the claims are amended following receipt of the search report or during any Chapter II procedure.

# INTERNATIONAL SEARCH REPORT

PCT/GB 03/05498

## Box I Observations where certain claims were found unsearchable (Continuation of item 1 of first sheet)

This International Search Report has not been established in respect of certain claims under Article 17(2)(a) for the following reasons:

1. ☒ Claims Nos.:  
because they relate to subject matter not required to be searched by this Authority, namely:  
  
Although claim 12 is directed to a method of treatment of the human/animal body, the search has been carried out and based on the alleged effects of the compound/composition.
2. ☒ Claims Nos.:  
because they relate to parts of the International Application that do not comply with the prescribed requirements to such an extent that no meaningful International Search can be carried out, specifically:  
  
see FURTHER INFORMATION sheet PCT/ISA/210
3. ☐ Claims Nos.:  
because they are dependent claims and are not drafted in accordance with the second and third sentences of Rule 6.4(a).

## Box II Observations where unity of invention is lacking (Continuation of item 2 of first sheet)

This International Searching Authority found multiple inventions in this international application, as follows:

1. ☐ As all required additional search fees were timely paid by the applicant, this International Search Report covers all searchable claims.
2. ☐ As all searchable claims could be searched without effort justifying an additional fee, this Authority did not invite payment of any additional fee.
3. ☐ As only some of the required additional search fees were timely paid by the applicant, this International Search Report covers only those claims for which fees were paid, specifically claims Nos.:
4. ☐ No required additional search fees were timely paid by the applicant. Consequently, this International Search Report is restricted to the invention first mentioned in the claims; it is covered by claims Nos.:

### Remark on Protest

- ☐ The additional search fees were accompanied by the applicant's protest.
- ☐ No protest accompanied the payment of additional search fees.

## INTERNATIONAL SEARCH REPORT

PCT/GB 03/05498

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